

23/10/2008,10580638.trn

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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	3	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	4	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	5	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	6	JUN 25	CA/CAPplus and USPAT databases updated with IPC reclassification data
NEWS	7	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	8	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	9	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	10	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	11	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	12	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	13	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	14	JUL 28	STN Viewer performance improved
NEWS	15	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	16	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	17	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	18	AUG 15	CAPplus currency for Korean patents enhanced
NEWS	19	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	20	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	21	SEP 25	CA/CAPplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	22	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and Korean patents enhanced
NEWS	23	SEP 29	IFICLS enhanced with new super search field
NEWS	24	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	25	SEP 30	CAS patent coverage enhanced to include exemplified

				prophetic substances identified in new Japanese-language patents
NEWS	26	OCT	07	EPFULL enhanced with full implementation of EPC2000
NEWS	27	OCT	07	Multiple databases enhanced for more flexible patent number searching
NEWS	28	OCT	22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	29	OCT	22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	EXPRESS	JUNE	27 08	CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS	HOURS			STN Operating Hours Plus Help Desk Availability
NEWS	LOGIN			Welcome Banner and News Items
NEWS	IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:33:37 ON 23 OCT 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:33:52 ON 23 OCT 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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STRUCTURE FILE UPDATES: 21 OCT 2008 HIGHEST RN 1064205-90-8
DICTIONARY FILE UPDATES: 21 OCT 2008 HIGHEST RN 1064205-90-8
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

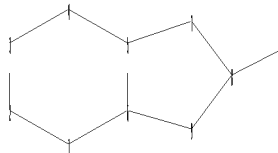
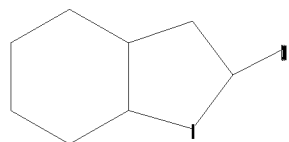
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

23/10/2008,10580638.trn

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10580638product.str



chain nodes :

10

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

8-10

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

6-9 8-9

exact bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-8 8-10

isolated ring systems :

containing 1 :

Match level :

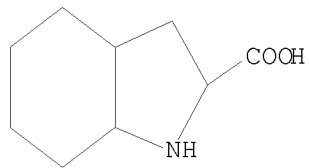
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:37:17 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 226 TO ITERATE

100.0% PROCESSED 226 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

23/10/2008,10580638.trn

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3619 TO 5421
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:37:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4480 TO ITERATE

100.0% PROCESSED 4480 ITERATIONS

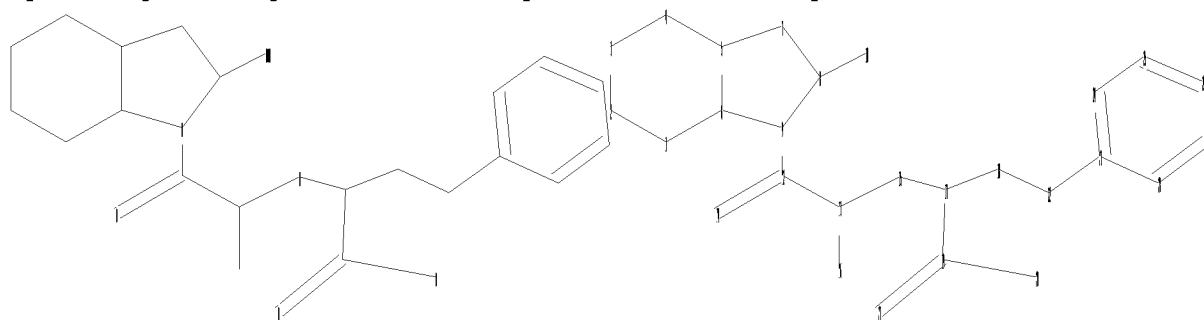
88 ANSWERS

SEARCH TIME: 00.00.01

L3 88 SEA SSS FUL L1

=>

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chain nodes :

10 12 13 14 15 16 17 18 19 20 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 23 24 25 26 27 28

chain bonds :

8-10 9-12 12-13 12-14 13-15 13-16 15-17 17-18 17-19 18-22 19-20 19-21
22-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 23-24 23-28 24-25 25-26 26-27
27-28

exact/norm bonds :

6-9 8-9 9-12 12-14 13-15 15-17 19-20 19-21

exact bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-8 8-10 12-13 13-16 17-18 17-19 18-22
22-23

normalized bonds :

23-24 23-28 24-25 25-26 26-27 27-28

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

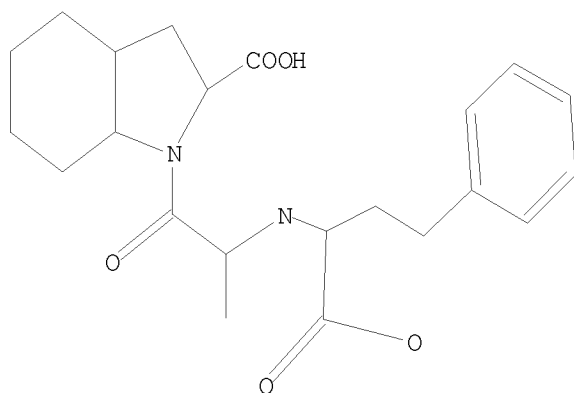
23/10/2008,10580638.trn

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 10:37:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 222 TO ITERATE

100.0% PROCESSED 222 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3547 TO 5333

PROJECTED ANSWERS: 6 TO 266

L5 6 SEA SSS SAM L4

=> s 14 full

FULL SEARCH INITIATED 10:37:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4194 TO ITERATE

100.0% PROCESSED 4194 ITERATIONS

126 ANSWERS

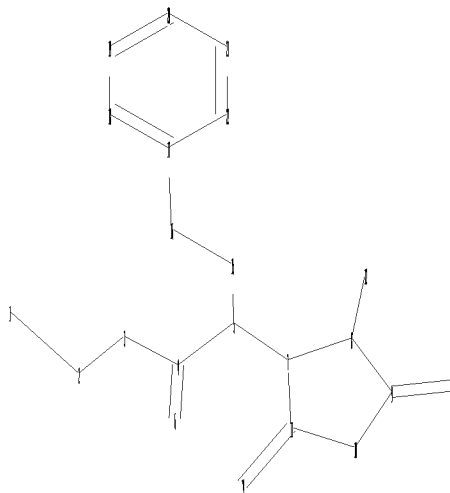
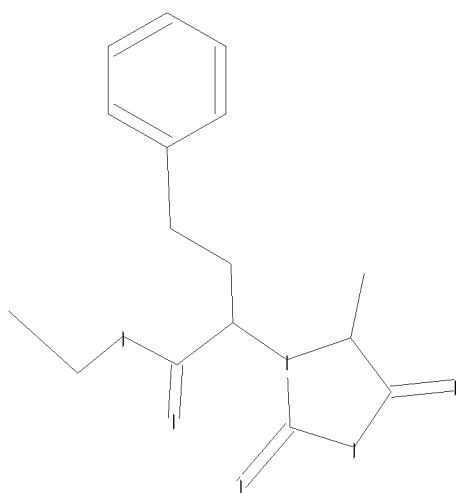
SEARCH TIME: 00.00.01

L6 126 SEA SSS FUL L4

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```
chain nodes :
1  2  3  4  5  6  12  13  14  15  16
ring nodes :
7  8  9  10  11  17  18  19  20  21  22
chain bonds :
1-2  2-3  3-4  4-5  4-6  5-7  5-15  8-12  9-13  11-14  15-16  16-17
ring bonds :
7-8  7-11  8-9  9-10  10-11  17-18  17-22  18-19  19-20  20-21  21-22
exact/norm bonds :
2-3  3-4  4-6  5-7  7-8  7-11  8-9  9-10  9-13  10-11  11-14
exact bonds :
1-2  4-5  5-15  8-12  15-16  16-17
normalized bonds :
17-18  17-22  18-19  19-20  20-21  21-22
```

```
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom
10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom
18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
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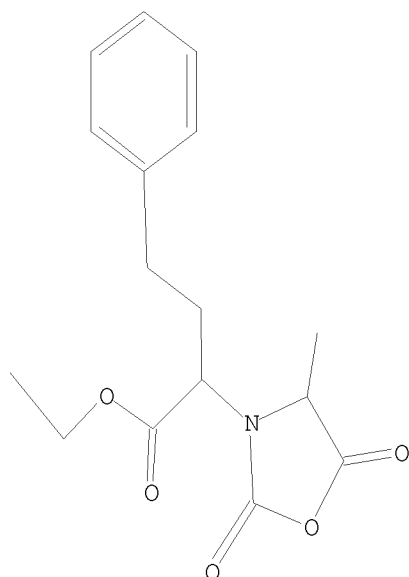
L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR

23/10/2008,10580638.trn



Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 10:39:01 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4 TO 200

PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 full

FULL SEARCH INITIATED 10:39:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

L9 9 SEA SSS FUL L7

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

538.30

538.51

FILE 'HCAPLUS' ENTERED AT 10:39:34 ON 23 OCT 2008

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FILE COVERS 1907 - 23 Oct 2008 VOL 149 ISS 17
FILE LAST UPDATED: 22 Oct 2008 (20081022/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l6/P and l3/ract and l9/ract
      55 L6/P
      139 L3
      3172834 RACT/RL
      107 L3/RACT
          (L3 (L) RACT/RL)
      54 L9
      3172834 RACT/RL
      44 L9/RACT
          (L9 (L) RACT/RL)
L10      9 L6/P AND L3/RACT AND L9/RACT

=> d ed abs ibib hitstr tot
```


23/10/2008,10580638.trn

L10 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 09 Apr 2007
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a process for the preparation of (2S, 3aR, 7aS)-octahydroindole-2-carboxylic acid (I), and its use for the preparation of trandolapril (II). Trandolapril is an angiotensin-converting enzyme (ACE)

inhibitor and is used for the treatment of hypertension. The process of the invention does not require the use of expensive catalyst and allows for the use of readily available starting material to simplify separation procedures. The target compds. may be prepared according to the process of the invention as shown by the following example. Esterification of (3aR,7aS)-octahydroindole-2-carboxylic acid with benzyl alc. in the presence of p-toluenesulfonic acid in toluene followed by liberation of the free base with triethylamine in dichloromethane, purification, and ester cleavage to give I. (S)-N-[1-(Ethoxycarbonyl)-3-phenylpropyl]-L-alanine underwent intramol. heterocyclization with N,N'-carbonyldiimidazole to form N-carboxyanhydride III, which was amidated with I to give trandolapril (II).

ACCESSION NUMBER: 2007:388837 HCAPLUS
DOCUMENT NUMBER: 147:541727
TITLE: Process for the preparation of trandolapril and intermediates thereof
INVENTOR(S): Joshi, Narendra Shriram; Bhirud, Shekhar Bhaskar; Ramam, Buddhavarapu Pattabhi; Bodkhe, Arjun Rajaram
PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India
SOURCE: Indian Pat. Appl., 31pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

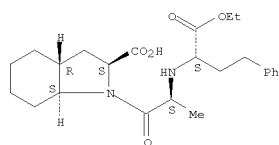
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2004MU01060	A	20060728	IN 2004-MU1060	20041007

PRIORITY APPLN. INFO.: IN 2004-MU1060 20041007

OTHER SOURCE(S): CASREACT 147:541727
IT 84793-24-8P 145438-94-4P,
(2S,3aR,7aS)-octahydroindole-2-carboxylic acid
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process for the preparation of trandolapril and intermediates thereof)
RN 84793-24-8 HCAPLUS

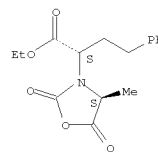
L10 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
ED Entered STN: 09 Apr 2007
GI
RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



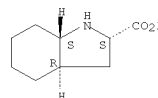
L10 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo-α-(2-phenylethyl)-, ethyl ester, (αS,4S)- (CA INDEX NAME)

Absolute stereochemistry.



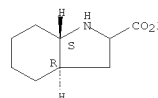
RN 145438-94-4 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 881637-65-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; process for the preparation of trandolapril and intermediates thereof)
RN 881637-65-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



IT 87679-37-6P, Trandolapril
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target compound; process for the preparation of trandolapril and

L10 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 19 Mar 2007
GI
RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a process for the preparation of octahydroindole-2-carboxylic acid of formula I, wherein the ring junction is trans, including enantiomers, esters, and salts thereof, and more specifically (2S, 3aR, 7aS)-octahydro-1H-indole-2-carboxylic acid (II)

and esters and salts thereof. Compound II is a valuable intermediate in the synthesis of the angiotensin converting enzyme (ACE) inhibitor trandolapril. The process of the invention avoids the use of expensive, hazardous, toxic, and corrosive chems., very low temps., and gives about 50% of the trans-isomer, making the process of the invention more com. attractive than prior art. The target compds. may be prepared according to

the process of the invention as shown by the following example. Rhodium-catalyzed hydrogenation of the hydrochloride of imino acid III in water under alkaline conditions gave about 1:1 mixture of the trans- and cis-isomers of I. Fractional crystallization of the mixture from methanol resulted in the isolation of II and its enantiomer. Acetylation followed by diastereomeric salt formation with cinchonidine and acidification gave IV with 99.7% optical purity. Compound IV underwent deacetylation with hydrochloric acid to give II, which may be used to prepare trandolapril

(V)
in a single step.
ACCESSION NUMBER: 2007:300486 HCAPLUS
DOCUMENT NUMBER: 147:522095
TITLE: Process for the preparation of trans-octahydro-1H-indole-2-carboxylic acid
INVENTOR(S): Debashish, Datta; Jagannath, Wani Mukesh
PATENT ASSIGNEE(S): Lupin Ltd., India
SOURCE: Indian Pat. Appl., 37pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

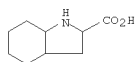
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2003MU01033	A	20060120	IN 2003-MU1033	20031003

PRIORITY APPLN. INFO.: IN 2003-MU1033 20031003

OTHER SOURCE(S): CASREACT 147:522095
IT 82717-40-6P 87679-58-1P 145438-94-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process for preparation of trans-octahydro-1H-indole-2-carboxylic acid)
RN 82717-40-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro- (CA INDEX NAME)

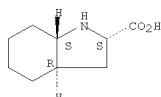
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L10 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



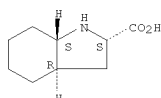
RN 87679-58-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2R,3aS,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 145438-94-4 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 84793-24-8, N-[1(S)-Ethoxycarbonyl-3-phenylpropyl]-L-alanine
N-carboxyanhydride
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; process for preparation of
trans-octahydro-1H-indole-2-carboxylic acid)

RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (α S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

ED Entered STN: 12 Jan 2007

AB A process for the synthesis oftrandolapril comprises condensing
N-[1-(S)-ethoxycarbonyl-3-phenylpropyl]-L-alanine N-carboxyanhydride (I)
with trans-octahydro-1H-indole-2-carboxylic acid in a first organic
solvent

comprising a water immiscible inert organic solvent and in the presence
of a

base, and isolating trandolapril from a second organic solvent.

(2S,3aR,7aS)-octahydro-1H-indole-2-carboxylic acid (II) (resolution

process

given) may also be used. Thus, II in CH₂Cl₂ was treated with Et₃N and
then with I (preparation given) in CH₂Cl₂ followed by stirring for 3 h

to give
99.5% pure trandolapril.

ACCESSION NUMBER: 2007:37921 HCAPLUS

DOCUMENT NUMBER: 146:143003

TITLE: Process for the preparation of trandolapril from
N-[1-(S)-ethoxycarbonyl-3-phenylpropyl]-L-alanine
N-carboxyanhydride and
trans-octahydro-1H-indole-2-carboxylic acid.

INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj
Ramachandra; Phull, Manjinder Singh; Sawant, Ashwini;

Birari, Dilip Ramdas

PATENT ASSIGNEE(S): Cipla Limited, India; Curtis, Philip, Anthony

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

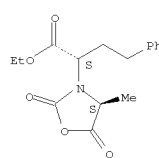
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003947	A2	20070111	WO 2006-GB2496	20060705
WO 2007003947	A3	20070531		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
IN 2005MU00793	A	20070601	IN 2005-MU793	20050705
CA 2614039	A1	20070111	CA 2006-2614039	20060705
EP 1899300	A2	20080319	EP 2006-75717	20060705
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
KR 2008046630	A	20080527	KR 2008-702872	20080204
PRIORITY APPLN. INFO.:			IN 2005-MU793	A 20050705
			WO 2006-GB2496	W 20060705

OTHER SOURCE(S): CASREACT 146:143003

Young, Shawquia, Page 10

L10 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

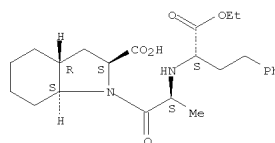


IT 87679-37-6P, Trandolapril
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(target compound; process for preparation of
trans-octahydro-1H-indole-2-carboxylic acid)

RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L10 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

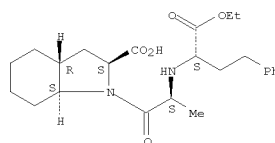
IT 87679-37-6P, Trandolapril

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of trandolapril from ethoxycarbonylphenylpropylalanine
N-carboxyanhydride and octahydroindolecarboxylic acid)

RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



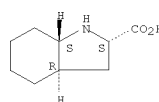
IT 145438-94-4P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(preparation of trandolapril from ethoxycarbonylphenylpropylalanine
N-carboxyanhydride and octahydroindolecarboxylic acid)

RN 145438-94-4 HCAPLUS

CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 919092-89-0

RL: RCT (Reactant); RACT (Reactant or reagent)

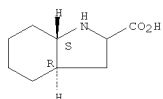
(preparation of trandolapril from ethoxycarbonylphenylpropylalanine
N-carboxyanhydride and octahydroindolecarboxylic acid)

RN 919092-89-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, octahydro-, (3aR,7aS)-rel- (CA INDEX NAME)

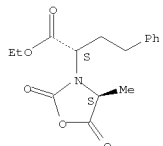
Relative stereochemistry.

L10 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 84793-24-8P, N-[1-(S)-Ethoxycarbonyl-3-phenylpropyl]-L-alanine
N-carboxyanhydride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation of trandolapril from ethoxycarbonylphenylpropylalanine
N-carboxyanhydride and octahydroindolecarboxylic acid)
RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (α S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN

ED Entered STN: 13 Apr 2006

AB Trandolapril intermediate (2S,3aR,7aS)-perhydroindole-2-carboxylic acid
was prepared by a process which comprises esterification of
(3aR,7aS)-perhydroindole-2-carboxylic acid with an alc. in the presence
of
an acid, reacting the acid addition salt with a base and then
dibenzoyl-L-tartaric acid or di-p-toluoyl-L-tartaric acid and at least
one

alc., followed by addition of a second base and hydrolysis.
(2S,3aR,7aS)-perhydroindole-2-carboxylic acid prepared by this method was
used to prepare trandolapril.

ACCESSION NUMBER: 2006:341506 HCAPLUS

DOCUMENT NUMBER: 144:350983

TITLE: Process for the preparation of
(2S,3aR,7aS)-perhydroindole-2-carboxylic acid
intermediate in synthesis of trandolapril

INVENTOR(S): Joshi, Narendra Shriram; Bhirud, Shekhar Bhaskar;
Raman, Buddhavarapu Pattabhi; Bodkhe, Arjun Rajaram
PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India

SOURCE: U.S. Pat. Appl. Publ., 10 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060079698	A1	20060413	US 2005-245871	20051007
PRIORITY APPLN. INFO.:			US 2004-616934P	P 20041007
			US 2004-616959P	P 20041007

OTHER SOURCE(S): CASREACT 144:350983; MARPAT 144:350983

IT 145438-94-4P

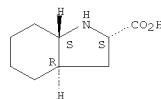
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of perhydroindolecarboxylic acid intermediate in
synthesis of

trandolapril)

RN 145438-94-4 HCAPLUS

CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L10 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 87679-37-6P, Trandolapril

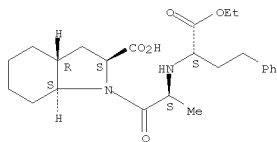
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
(preparation of perhydroindolecarboxylic acid intermediate in
synthesis of

trandolapril)

RN 87679-37-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-
phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX
NAME)

Absolute stereochemistry. Rotation (-).



IT 881637-65-6

RL: RCT (Reactant); RACT (Reactant or reagent)

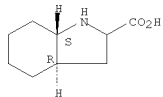
(preparation of perhydroindolecarboxylic acid intermediate in
synthesis of

trandolapril)

RN 881637-65-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, octahydro-, (3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry.



IT 84793-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation of perhydroindolecarboxylic acid intermediate in
synthesis of

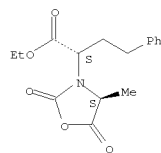
trandolapril)

RN 84793-24-8 HCAPLUS

CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (α S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



23/10/2008,10580638.trn

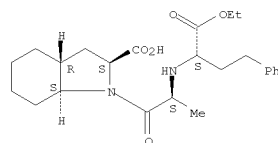
L10 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 17 Jun 2005
AB Trandolapril intermediate (2S,3aR,7aS)-octahydro-1H-indole-2-carboxylic acid (or its C-protected derivs. or salts) was prepared by reacting a cyclohexyl aziridine with a dialkyl malonate to form a trans-fused 3-(alkylcarbonyl)octahydroindol-2-one, decarbonylation at the 3-position, conversion of 2-oxo group to an optionally protected carboxylic acid group, and removal of any N-substitution. Examples illustrate the synthetic method, starting with reaction of cyclohexene with chloramine-T to form N-tosylcyclohexanoaziridine.
ACCESSION NUMBER: 2005:523418 HCAPLUS
DOCUMENT NUMBER: 143:44076
TITLE: A method for the preparation of (2S,3aR,7aS)-octahydro-1H-indole-2-carboxylic acid as key intermediate in the preparation of trandolapril
by reacting a cyclohexyl aziridine with a dialkyl malonate
INVENTOR(S): Cld, Pau
PATENT ASSIGNEE(S): Texcontor Etablissement, Liechtenstein
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054194	A1	20050616	WO 2004-EP13377	20041125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
FW: BW, GB, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1687271	A1	20060809	EP 2004-819621	20041125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
US 20070225505	A1	20070927	US 2007-580610	20070212
PRIORITY APPLN. INFO.:			EP 2003-257417	A 20031125
			WO 2004-EP13377	W 20041125

OTHER SOURCE(S): CASREACT 143:44076; MARPAT 143:44076
IT 87679-37-6P, Trandolapril
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of octahydroindolecarboxylic acid as key intermediate in synthesis of trandolapril by reacting cyclohexyl aziridine with dialkyl

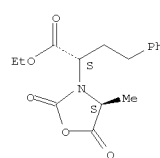
L10 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
malonate)
RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 84793-24-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of octahydroindolecarboxylic acid as key intermediate in synthesis of trandolapril by reacting cyclohexyl aziridine with dialkyl malonate)
RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-, ethyl ester, (α S,4S)- (CA INDEX NAME)

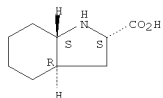
Absolute stereochemistry.



IT 87679-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of octahydroindolecarboxylic acid as key intermediate in synthesis of trandolapril by reacting cyclohexyl aziridine with dialkyl malonate)
RN 87679-58-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2R,3aS,7aR)-rel- (CA INDEX

L10 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 10 Jun 2005
AB The invention relates to a method for producing optionally substituted {N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S, 3aR, 7aS-octahydroindol-2-carboxylic acid} and the pharmaceutically acceptable salts thereof. To this end, a racemic mixture of optionally substituted trans-octahydroindol-2-carboxylic acid is reacted with the N-carboxyanhydride of {N-[1-(S)-alkoxycarbonyl-3-phenylpropyl]-L-alanine}, which is optionally substituted on the Ph ring, in an appropriate inert solvent, and the obtained optionally substituted {N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S, 3aR, 7aS-octahydroindol-2-carboxylic acid}, preferably trandolapril, is subsequently isolated, as well as polymorphous forms A and B of trandolapril.
ACCESSION NUMBER: 2005:493585 HCAPLUS
DOCUMENT NUMBER: 143:32341
TITLE: Method for producing {N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S, 3aR, 7aS-octahydroindol-2-carboxylic acid} compounds especially trandolapril via their racemic salts Poguttor, Mirko; Rudolf, Felix; Bichsel, Hans-Ulrich; Bader, Thomas
INVENTOR(S): Azad Pharmaceuticals Ingredients A.-G., Switz.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

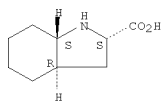
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051909	A1	20050609	WO 2004-CH688	20041115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
FW: BW, GB, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1689711	A1	20060816	EP 2004-797245	20041115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007512260	T	20070517	JP 2006-540130	20041115
IN 2006KN01385	A	20070504	IN 2006-KN1385	20060523
US 20070135513	A1	20070614	US 2007-580638	20070208
PRIORITY APPLN. INFO.:			CH 2003-2038	A 20031128
			WO 2004-CH688	W 20041115

IT 87679-58-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for producing {N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S,

23/10/2008,10580638.trn

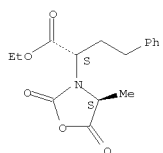
L10 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
3aR, 7aS-octahydroindol-2-carboxylic acid) compds. esp. trandolapril
via their racemic salts)
RN 87679-58-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2R,3aS,7aR)-rel- (CA INDEX
NAME)

Relative stereochemistry.



IT 84793-24-8P 87725-72-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(method for producing
(N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S,
3aR, 7aS-octahydroindol-2-carboxylic acid) compds. especially
trandolapril
via their racemic salts)
RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (aS,4S)- (CA INDEX NAME)

Absolute stereochemistry.

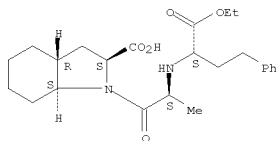


RN 87725-72-2 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-
phenylpropyl]amino]-1-oxopropyl]octahydro-, monohydrochloride,
(2S,3aR,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

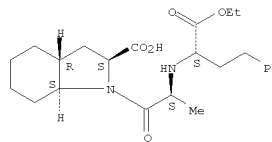
L10 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

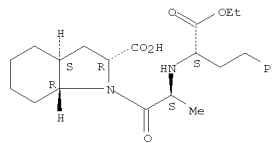
L10 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

IT 852921-57-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(method for producing
(N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S,
3aR, 7aS-octahydroindol-2-carboxylic acid) compds. especially
trandolapril
via their racemic salts)
RN 852921-57-4 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-
phenylpropyl]amino]-1-oxopropyl]octahydro-, (2R,3aR,7aR)- (CA INDEX
NAME)

Absolute stereochemistry.



IT 87679-37-6P, Trandolapril
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(method for producing
(N-[1-(S)-carbalkoxy-3-phenylpropyl]-S-alanyl-2S,
3aR, 7aS-octahydroindol-2-carboxylic acid) compds. especially
trandolapril
via their racemic salts)
RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[[(1S)-1-(ethoxycarbonyl)-3-
phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX
NAME)

L10 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 06 Aug 2004
AB Disclosed is a process for producing benzyl
(2S,3aR,7aS)-hexahydroindoline-2-carboxylate (I), characterized by
heating
a racemic mixture consisting of
(2S,3aR,7aS)-hexahydroindoline-2-carboxylic
acid (II) and (2R,3aS,7aR)-hexahydroindoline-2-carboxylic acid (III),
benzyl alc., and optically active 10-camphorsulfonic acid in a nonaq.
solvent to convert the racemic mixture to benzyl esters, subjecting the
diastereomeric salts of the benzyl esters with the optically active
10-camphorsulfonic acid which have been generated in the same reaction
system to optical resolution based on a difference in solubility in an
organic
solvent, and then treating one of the isomers with a base. This process
can simultaneously carry out esterification of a mixture of racemic II
and
III with benzyl alc. and optical resolution in one step in high yield,
shortens the existing process by two steps, and is industrially
advantageous. Thus, a racemic mixture of II and III 67.69, benzyl alc.
129.77, and (1R)-(-)-10-camphorsulfonic acid (IV) 97.57 g were added to
toluene in a flask fitted with a condenser and a Dean-Stark separator,
refluxed with stirring while removing a theor. quantity of water,
distilled
under reduced pressure to remove the solvent (.apprx.650 mL), and treated
with 800 mL tert-Bu Me ether at .apprx.60° with stirring. The
precipitated crystals were collected by filtration, successively washed
with
toluene and tert-Bu Me ether, dried to give a crude crystalline
diastereomer
salt (189.5 g) which was recrystd. twice from toluene to give the
diastereomer I.IV salt (63.5 g) which was added to a mixture of 315 mL
tert-Bu Me ether and 63 mL H2O, treated dropwise with 130 mL 10.6%
aqueous
Na2CO3 solution, stirred for 10 min to give, after workup, 33.2 g I
(64.0%
from the racemate).
ACCESSION NUMBER: 2004:633914 HCAPLUS
DOCUMENT NUMBER: 141:140316
TITLE: Process for producing intermediate for trandolapril
by
esterification of racemic
(2S,3aR,7aS)-hexahydroindoline-2-carboxylic acid with
benzyl alcohol and optical resolution
Shimamura, Hiroshi; Nakata, Yoshitaka
Obara Chemical Industries, Ltd., Japan
PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

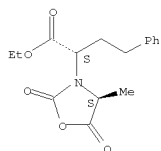
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065368	A1	20040805	WO 2004-JP374	20040119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

23/10/2008,10580638.trn

L10 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ
PRIORITY APPLN. INFO.: JF 2003-11889 A 20030121

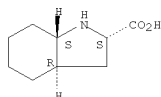
OTHER SOURCE(S): CASREACT 141:140316
IT 84793-24-8 87679-58-1,
rel-(2S,3AR,7aS)-hexahydroindoline-2-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of optically active benzyl
(2S,3aR,7aS)-hexahydroindolinecarboxylate as intermediate for
trandolapril by esterification of racemic
(2SR,3aRS,7aSR)-hexahydroindolinecarboxylic acid and optical
resolution
using camphorsulfonic acid)
RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (α S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 87679-58-1 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2R,3aS,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 87679-37-6P, Trandolapril
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of optically active benzyl
(2S,3aR,7aS)-hexahydroindolinecarboxylate as intermediate for
trandolapril by esterification of racemic
(2SR,3aRS,7aSR)-hexahydroindolinecarboxylic acid and optical
resolution

L10 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 03 Apr 2003
AB The invention discloses a method for producing angiotensin converting
enzyme inhibitors (S)-PhCH₂CH₂CH(CO₂Et)-L-Ala-R (NEPA-R) and
pharmaceutically-acceptable salts via deprotection of carboxy
group-protected derivs. in non-aqueous medium. The product is obtained
in
high yield with minimal byproduct formation. Thus, NEPA-L-Pro-OSiMe₃,
prepared by coupling of NEPA-NCA with H-L-Pro-OSiMe₃, was stirred with
isopropanol at room temperature and treated with maleic acid to afford
87.1%

enalapril maleate.
ACCESSION NUMBER: 2003:255129 HCAPLUS
DOCUMENT NUMBER: 138:271979
TITLE: Method for producing enalapril and related
angiotensin
converting enzyme inhibitors
INVENTOR(S): Tien, Mong-Jong; Liu, Yu-Liang
PATENT ASSIGNEE(S): Everlight USA, Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

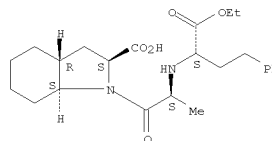
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6541635	B1	20030401	US 2002-178369	20020625
PRIORITY APPLN. INFO.:			TW 2002-91106399	A 20020329

OTHER SOURCE(S): CASREACT 138:271979
IT 80876-01-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)
(preparation of enalapril and related angiotensin converting enzyme
inhibitors via deprotection of silyl esters)
RN 80876-01-3 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[(1S)-1-(ethoxycarbonyl)-3-
phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (CA INDEX NAME)

Absolute stereochemistry.

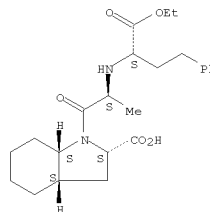
L10 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
using camphorsulfonic acid)
RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[(1S)-1-(ethoxycarbonyl)-3-
phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



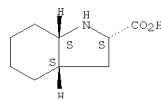
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L10 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



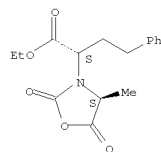
IT 80875-98-5 84793-24-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of enalapril and related angiotensin converting enzyme
inhibitors via deprotection of silyl esters)
RN 80875-98-5 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aS,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (α S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

23/10/2008,10580638.trn

L10 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

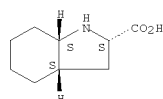
L10 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 12 Apr 2002
AB α -Amino acids salts with organic super bases, R1NHCHRCO2-
A-NH-Q+-[N(B)D]n [Q = C (n = 1 or 2) or P (n = 3); A, B, D = alkyl,
alkylaryl or may combine to form a heterocyclic group; R = H or a side
chain of an optionally protected amino acid; R1 = H, Ph3C; or R and R1
may combine to form a mono-, bi-, or tricyclic heterocyclic ring], were
prepared
and reacted with N-substituted amino acids to form dipeptides. Thus,
treatment of a CH2Cl2 solution of the salt of L-alanine and
tert-butyltris(pyrrolidino)phosphorane with Et
trans- β -benzoylacrylate, followed by hydrogenolysis, afforded
N-[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]-L-alanine (I). Reaction of
the
N-carboxyanhydride of I with L-proline in CH2Cl2 in the presence of DBU
yielded enalapril (isolated as the maleate).
ACCESSION NUMBER: 2002:272075 HCAPLUS
DOCUMENT NUMBER: 136:310181
TITLE: Preparation of amino acid salts soluble in organic
solvents and their use in dipeptide synthesis
INVENTOR(S): Palomo Nicolau, Francisco Eugenio; Palomo Coll,
Antonio Luis
PATENT ASSIGNEE(S): Spain
SOURCE: Span., 24 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2156037	A1	20010601	ES 1997-745	19970401
ES 2156037	B1	20020301		

PRIORITY APPLN. INFO.: ES 1997-745 19970401

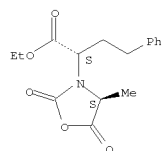
OTHER SOURCE(S): CASREACT 136:310181; MARPAT 136:310181
IT 80875-98-5 84793-24-8 145438-94-4
186194-75-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid salts soluble in organic solvents and
their use in
dipeptide synthesis)
RN 80875-98-5 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)
Absolute stereochemistry. Rotation (-).

L10 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



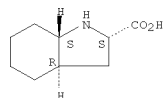
RN 84793-24-8 HCAPLUS
CN 3-Oxazolidineacetic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
ethyl ester, (α S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



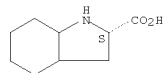
RN 145438-94-4 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 186194-75-2 HCAPLUS
CN 1H-Indole-2-carboxylic acid, octahydro-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 87679-37-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of amino acid salts soluble in organic solvents and
their use in